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exact/norm bonds :

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normalized bonds: 1-2 1-6 2-3 3-4 4-5 5-6

G1:C,N

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:CLASS 29:CLASS Match level:

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Structure attributes must be viewed using STN Express query preparation. L2 L2 QUE ABB=ON PLU=ON L1

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7 ANSWERS

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ANSWER 7 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN SEES

625113-63-5 REGISTRY
Entered STN: 09 Dec 2003
Benzeneacetamide, 3-chloro

Benzeneacetamide, 3-chloro-4-(methylsulfonyl)a-{(2-oxocyclopentyl)methyl}-N-pyrazinyl- (9Cl) (CA INDEX NAME)

2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(2-oxocyclopentyl)-N-(pyrazin-2-OTHER P

yl)propionamide

SA A SI

CA, CAPLUS, USPATFULL CA STN Files:

Preparation of pyrazines and related compounds as glucokinase activators

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN 2004:515493 CAPLUS 141:71565

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1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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179 ANSWERS

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K. Hoffmann-la Roche Ag, Switz. PCT Int. Appl., 243 pp. PCT Int. Appl., 243 pp. PATENT NO. English CONTRIL Appl., 243 pp. Retart English W. A.	Z	Shaqing: Corbett, Wendy Lea; Guertin, Ellen; Kester, Robert Francis; Mennona y; Qian, Yimin; Sarabu, Ramakanth; Sco
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Preparation of cycloalkylheteroaryl propionamides as glucokinase activators for treatment of type II diabetes
Bizzaro, Fread Thomas, Corbett, Wendy Lea; Grippo, Joseph Francis; Haynes, Nancy-Eilen; Holland, George William; Kester, Robert Francis; Mahaney, Hoffmann-La Roche Inc., USA

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RE.CMT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD

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ALL CITATIONS AVAILABLE IN THE R FORMAT Methods for purification and crystal structure of human glucokinase and their use in treatment of type II diabetes
Corbett, Wendy Less Crowther, Robert Lewis; Dunten, Pete William; Kammlott, R. Ursula; Lukacs, Christine Maria
Fr. Hoffmann-La Roche AG, Switz.
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Preparation of arylcycloalkylpropionamides as glucokinase activators. Bizzarro, Fred Thomas; Corbett, Wardy Lea; Focella, Antonino; Grippo, Joseph Francis; Haynes, Nancy-ellen; Holland, George William; Kester, Robert Francis; Mahaney, Paige E.; Sarabu, Ramakanth F. Hoffmann-La Roche A.-G., Skittz.

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ally an in pure macroarists of the standard of (hydroxy)amino, CN, NO2, (perfluoro)alkyl, (perfluoro)alkylthio, (perfluoro)alkylsulfonyl, alkylsulfinyl, sulfonamido, OR5, or CO2R6; R3 (un)substituted unbranched (hetero)alkyl; or CR3 = (hetero)cyclyl; R4 = COMIR6 or (un)substituted heteroaryl; R5 = H or (perfluoro)alkyl; R6 = alkyl; and pharmacoutically acceptable salts thereof] were prepared as Title compds. I [wherein R1 and R2 = independently H, halo, ΑB

values of s 30 μM for activation of human liver GK1 expressed in E. coli as a glutathione S-transferase fusion protein (GST-GT) were activity)

RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (GR activator; preparation of phenylacetamides as glucokinase activators for treatment of type II diabetes) 625112-91-6 CAPLUS Benzeneacetamide, 3-chloro-N-(5-chloropyraziny1)-4-(methylsulfony1)-a-[(4-oxocyclohexy1)methy1]-, aR)- (9CI) (CA INDEX NAME) 625112-91-6f, 2-(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-N-(5-chloropyrazin-2-yl)-3-(4-oxocyolohaxyl)propionamide625113-40-8P 625113-95-3f 625114-62-3f, 2-[3-Chloro-4] (methanesulfonyl)phenyl]-3-(3-oxocyolopentyl)-N-(pyrazin-2-yl)propionamide 625114-44-5f, N-(5-Bromopyrazin-2-yl)-2-[3-chloro-4obtained for all of the synthesized invention compds. Thus, I and their pharmaceutical compns. are useful in the treatment of type II diabetes (no 625114-55-8f, 2-(3-Chloro-4-(methanesulfonyl)phenyl]-3-(4oxocyclohexyl)-N-(pyrazin-2-yl)propionamide£5114-61-6f,
N-(5-Encopyrazin-2-yl)-2-(3-chloro-4-(methanesulfonyl)phenyl]-3-(4oxocyclohexyl)propionamide 625114-62-7f 625114-65-0P
625114-67-2f 625114-68-3P (methanesulfonyl)phenyl]-3-(3-oxocyclopentyl)propionamide H

Absolute stereochemistry.

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OTHER SOURCE(S): GI

Benzeneacetamide, 3-chloro-4-(methylsulfonyl)g-{(2-oxocyclopentyl)methyl}-N-pyrazinyl-, qR)- (9CI) (CA INDEX NAME) 625113-40-8 CAPLUS Z Z

Absolute stereochemistry.

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625113-95-3 CAPLUS
Benzeneacetamide, 3-chlorog-[(3-hydroxycyclopentyl)methyl]-4(methylsulfonyl)-N-pyrazinyl-, oR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

625114-26-3 CAPLUS
Benzeneacetamide, 3-chloro-4-(methylsulfonyl)a-[(3-oxocyclopentyl)methyl]-N-pyrazinyl- (9Cl) (CA INDEX NAME) ጟ ፘ.

RN 625114-44-5 CAPLUS

Benzeneacetamide, N-(5-bromopyrazinyl)-3-chloro-4-(methylsulfonyljo-[(3-oxocyclopentyl)methyl]- (9Cl) (CA INDEX NAME) 3

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625114-55-8 CAPLUS
Benzeneacetamide, 3-chloro-4-(methylsulfonyl)g-[(4-oxocyclohexyl)methyl]-N-pyrazinyl- (9CI) (CA INDEX NAME)

625114-61-6 CAPLUS
Benzeneacetamide, N-(5-bromopyrazinyl)-3-chloro-4-(methylsulfonyljo-[(4-oxocyclohexyl)methyl]- (9CI) (CA INDEX NAME) **₹**8

₹8

625114-62-7 CAPLUS
Benzeneacetamide, N-(5-bromopyrazinyl)-3-chloro-4-(methylsulfonylo-[(4-oxocyclohexyl)methyl]-, aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

625114-65-0 CAPLUS **₹**8

Benzeneacetamide, 3-chloro-N-(5-methylpyrazinyl)-4-(methylsulfonyl)-g-[(4-oxocyclohexyl)methyl]-, gR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

625114-67-2 CAPLUS
Benzeneacetamide, 3-chloro-N-(5-chloro-2-pyridinyl)-4-(methylsulfonyl)o-[(4-oxocyclohexyl)methyl]-, aR)- (9CI) (CA INDEX NAME) ₹ ₹

Absolute stereochemistry. Rotation (-).

625114-68-3 CAPLUS
Benzeneacetamide, 3-chloro-N-(5-methyl-2-pyridinyl)-4-(methylsulfonyl)a-[(4-oxocyclohexyl)methyl)-, aR)- (9CI) (CA INDEX NAME) ₹ 8

Absolute stereochemistry. Rotation (-).

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[methanesulfonyl]phenyl]-3-[2-[methoxyimino)cyclopentyl]-N-(pyrazin-2-yl)propionamide 625114-35-41 625114-41-2P (methanesulfonyl)phenyl]-3-(2-hydroxyiminocyclopentyl)-N-(pyrazin-2yl)propionamide 625113-65-71, 2-[3-Chloro-4-

2-(3-Chloro-4-(methanésulfonyl)phenyl]-3-(3-(methoxyimino)cyclopentyl]-N-(pyrazin-2-yl)propionamide 625114-50-31, N-(5-Bromopyrazin-2-yl)-625144-46-71, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(3-hydroxyiminocyclopentyl)-N-(pyrazin-2-yl)propionamide625114-47-8P, N-(5-Bromopyrazin-2-yl)-2-[3-chloro-4-(methanesulfonyl)phenyl]-3-(3-

2-[3-chloro-4-[methanesulfonyl]phenyl]-3-[3-(methoxyimino)cyclopentyl]prop ionamide 625114-54-71, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(3-hydroxy-3-methylcyclopentyl)-N-(pyrazin-2-yl)propionamide 625114-69-41, 2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-(4-

hydroxyiminocyclohaxyl)-N-(pyrazin-2-yl)propionamide625114-70-7P
N-(5-Bromopyrazin-2-yl)-2-(3-chloro-4-(methanesulfonyl)phenyl]-3-(4hydroxyiminocyclohaxyl)propionamide625114-71-8P
625114-712-9f 625114-774-1P

625114-75-2F 625114-76-3F, 2-(3-Chloro-4(methanesulforyl) phenyl]-3-(4-(methoxylino) cyclohaxyl]-N-(pyrazin-2yl)propionamide 625114-77-4F, N-(5-Bromopyrazin-2-yl)-2-(3chloro-4-(methanesulfonyl)phenyl]-3-(4-(methoxyimino) cyclohaxyl]propionami

de 625826-90-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(GK activator; preparation of phenylacetamides as glucokinase activators for treatment of type II diabetes) 625113-54-4 CAPLUS
Benzeneacetamide, 3-chloro-((2-hydroxycyclopentyl)methyl]-4-(methylsulfonyl)-N-pyrazinyl-, GR) (CA INDEX NAME)

Z Z

Absolute stereochemistry.

625113-56-6 CAPLUS
Benzeneacetamide, 3-chloroa-[[2-(hydroxyimino)cyclopentyl]methyl]-4-(methylsulfonyl)-N-pyrazinyl- (9CI) (CA INDEX NAME) ₹ ₹

625113-65-7 CAPLUS
Benzeneacetamide, 3-chloroa-[[2-(methoxyimino)cyclopentyl]methyl]-4(methylsulfonyl)-N-pyrazinyl- (9CI) (CA INDEX NAME) ₹ ₹

₹8

625114-35-4 CAPLUS
Benzeneacetamide, 3-chloro-4-(methylsulfonyl)a-[(3-oxocyclopentyl)methyl]-N-pyrazinyl-, oR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

625114-41-2 CAPLUS
Benzeneacetamide, 3-chloro-4-(methylsulfonyl)0-[[(1R)-3voxocyclopentyl]methyl]-N-pyrazinyl-, 0R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

625114-46-7 CAPLUS
Benzeneacetamide, 3-chlorov-[[3-(hydroxyimino)cyclopentyl]methyl]-4(methylsulfonyl)-N-pyrazinyl- (9CI) (CA INDEX NAME) Z 5

625114-47-8 CAPLUS

RN

CN Benzeneacetamide, N-(3-bromopyrazinyl)-3-chlorco-[[3-[hydroxyimino)cyclopentyl]methyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 625114-49-0 CAPLUS CN Benzeneacetamide, 3-chloroa-[[3-(methoxyimino)cyclopentyl]methyl]-4-(methylsulfonyl)-N-pyrazinyl- (9C1) (CA INDEX NAME)

RN 625114-50-3 CAPLUS
CN Benzensecetamide, N-(5-bromopyrazinyl)-3-chlorco-[[3(methoxyimino)cyclopentyl]methyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 625114-54-7 CAPLUS CN Benzeneacetamide, 3-chloroa-[(3-hydroxy-3-methylcyclopentyl)methyl]-4-(methylsulfonyl)-N-pyrazinyl- (9CI) (CA INDEX NAME)

- RN 625114-69-4 CAPLUS
 CN Benzeneacetamide, 3-chloroo-[[4-(hydroxyimino)cyclohexyl]methyl]-4-(methylsulfonyl)-N-pyrazinyl- (9CI) (CA INDEX NAME)

- Ma-S Other College (1975)
- RN 625114-71-8 CAPLUS
 CN Benzeneacetamide, N-(5-bromopyrazinyl)-3-chlorcα-[[4-(hydroxylmino)cyclohexyl]methyl]-4-(methylsulfonyl)-, σR)- (9CI)
 (CA INDEX NAME)

Mel

Absolute stereochemistry. Rotation (-).

RN 625114-72-9 CAPLUS
CN Benzeneacetamide, 3-chloro-N-(5-chloropyrazinyl)a-[[4(hydroxyimino)cyclohexyl]methyl]-4-(methylsulfonyl)-, aR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 625114-73-0 CAPLUS
CN Benzeneacetamide, 3-chloroα-[(4-(hydroxyimino)cyclohexyl]methyl]-N-(5-methylpyrazinyl)-4-(methylsulfonyl)-, αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 625114-74-1 CAPLUS CN Benzeneacetamide, 3-chloro-N-(5-chloro-2-pyridinyl)α-[[4-

(hydroxyimino)cyclohexyl]methyl]-4-(methylsulfonyl)-, qR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 625114-75-2 CAPLUS
CN Benzeneacetamide, 3-chloro@-[[4-(hydroxyimino)cyclohexyl]methyl]-N-(5-methyl-2-pyridinyl)-4-(methylsulfonyl)-, aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 625114-76-3 CAPLUS

CN Benzeneacetamide, 3-chloroo-[[4-(methoxyimino)cyclohexyl]methyl]-4(methylsulfonyl)-N-pyrazinyl- (9CI) (CA INDEX NAME)

MeO-N

CH2 NAME

625114-77-4 CAPLUS
Benzeneacetamide, N-(5-bromopyrazinyl)-3-chlorca-[[4[methoxyimino)cyclohexyl]methyl]-4-(methylsulfonyl)- (9CI) (CA INDEX
NAME) ₹ 5

625826-90-6 CAPLUS
Benzeneacetamide, 3-chloro-N-(5-chloropyrazinyl)a-[(4-hydroxycyclohexyl)methyl]-4-(methylsulfonyl)-, σ R)- (9CI) (CAINDEX NAME) ₹ &

Absolute stereochemistry.

625113-63-51, 2-(3-Chloro-4-(methanesulfonyl)phenyl]-3-(2-oxocyclopantyl)-N-(pyrazin-2-yl)propionamide625114-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Intermediate; preparation of phenylacetamides as glucokinase activators for treatment of type II diabetes)
625113-63-5 CAPLUS
Banzeneacetamide, 3-chloro-4-(methylsulfonyl)a-[(2-oxocyclopentyl)methyl]-N-pyrazinyl- (9CI) (CA INDEX NAME) II

₹ ₹

$$CH_2 - CH - C - NH$$

$$CH_2 - CH - C - NH$$

$$C_1$$

$$C_1$$

$$C_2$$

$$C_3$$

$$C_4$$

$$C_4$$

$$C_4$$

$$C_4$$

$$C_4$$

$$C_5$$

$$C_6$$

$$C_7$$

$$C_8$$

$$C_8$$

Benzeneacetamide, 3-chloro'-[[3-(formyloxy)cyclopentyl]methyl]-4-(methylsulfonyl)-N-pyrazinyl-, GR]- [9CI) (CA INDEX NAME) 625114-02-5 CAPLUS ₹ 5

Absolute stereochemistry.

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT N REFERENCE COUNT:

Preparation of cycloalkylheteroaryl propionamides as glucokinaea activators for treatment of type il diabetes
Blizarro, Fred Thomas; Corbett, Wendy Lea; Grippo, Joseph Francis; Haynes, Nancy-Ellen; Holland, George William; Kester, Robert Francis; Mahaney, Paige Erin; Sarabu, Ramakanth
Hoffmann-La Roche Inc., USA
U.S., 92 pp., Cont.-in-part of U.S. 6,320,050. SO03:667406 CAPLUS 139:214460 Patent English 2 LS ANSWER 3 OF 5 CAPLUS
ACCESSION NUMBER: 20
DOCUMENT NUMBER: 13 LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT ASSIGNEE(S): DOCUMENT TYPE: INVENTOR(S): TITLE:

20000928 20000315 US 2000-675781 US 2000-526143 APPLICATION NO. 20030826 20011108 DATE KIND A 11 US 6610846 US 2001039344 PATENT NO.

19990329 19991117 20000315 20000928 2001002 A2 A2 ZA 2001-7833 US 2003-616359 US 1999-126707P US 2000-526143 US 2000-675781 MARPAT 139:214460 20011120 20021223 20040122 B2 A1 US 6320050 ZA 2001007833 US 2004014968 PRIORITY APPLM. INFO.: OTHER SOURCE(S): GI

Title compds. [1; R1, R2 = H, halo, amino, hydroxyamino, NO2, cyano, sulfonamido, perfluoroalkyl, alkylthio, alkylshio, and chaims) en monosubstituted triazine, pyrazine, or pyridazine, and their pharmaceutical acceptable saltal, were prepared via amidation, for use as jucokinase activators for treatment of type II diabetes. Thus, the invention compound N-(5-chlorothiazol-2-yl)-3-oyclopentyl-2(R)-[4-(methaneaulfony))phenylpropionamide (II) was prepared by addition of 3-cyclopentyl-2(R)-[4-(methaneaulfony))phenylpropionic acid (preparation given) to a stirred mixture of triphenylphosphio and hormomenchimide in methylene chloride at 0°, followed by stirring at room temperature for 30 min, addition of a solution of 2-amino-5-chlorothiazole hydrochloride and pyridine in methylene chloride, and stirring at 25° overnight. All of the exemplified compds. I activated glucokinase in vitro, exhibiting an SCI.5 s 30 µM. Selected invention compds. exhibited glucokinase activator activity in vivo when administered orally to mice. Thus, I are expected to increase insulin secretion in the treatment of type II 9

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (Qlucokinase activator; preparation of cycloalkylheteroaryl propionamides as glucokinase activators) 300355-49-11, 6-[(3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]propi onyl]amino]nicotinic acid methyl ester diabetes.

11

₹ 3

300355-49-1 CAPLUS
3-Pyridinecarboxylic acid, 6-[(3-cyclopentyl-2-[4-(methylsulfonyl)phenyl]1-oxopropyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

II

4- (methanesulfonyl) - 3-trifluoromethylphenyl] - N-pyrazin-2-[3,4-Bis (methanesul fonyl) phenyl] -3-cyclopencyl-N-pyridin-2-ypropionamide 300354-03-4f, 3-cyclopencyl-2-[4-(methanesul fonyl) -3-trifluoromethylphenyl] -N-pyridin-2-ypropionamide 300354-05-6f, 2-[3-chloro-4-(methanesul fonyl) phenyl] -3-cyclopencyl-N-pyridin-2-ylpropionamide 300354-06-7f, N-(5-Bromopyridin-2-yl)-2-[3-chloro-4-(methanesul fonyl) phenyl] -3-cyclopencyl-3-300354-07-8f, N-(5-Chloropyridin-2-yl)-2-[3-chloro-4-(methanesul fonyl) phenyl] -3-cyclopentylpropionamide 2-[3-chloro-4-(methanesul fonyl) phenyl] -3-cyclopentylpropionamide 300354-08-9f, 2-[3-chloro-4-(methanesul fonyl) phenyl] -3-cyclopentylpropionamide 300354-08-9f, 2-[3-chloro-4-(methanesul fonyl) phenyl] -3-cyclopentylpropionamide 300354-08-9f, 3-cyclopentylpropionamide 300354-08pyridin-2-ylpropionamide 300353-49-51, 3-Cyclopentyl-2-(4-(methanesulfonyl)-3-nitrophenyl)-N-pyridin-2-ylpropionamide 300353-53-11, 6-(13-Cyclopentyl-2-(4-(methanesulfonyl)phenyl)propi onyl]amino|nicotinic acid 300353-57-51, 3-Cyclopentyl-N-(5-hydroxymethylpyridin-2-yl)-2-(4-(methanesulfonyl)phenyl]propionamide (methanesulfonyl)-3-nitrophenyl]-N-pyrazin-2-ylpropionamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES trifluoromethylpyridin-2-yl)propionamide**300354-11-41,** 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyridin-2-"N-(5-Bromopyridin-2-y1) -3-cyclopentyl-2-(4-(methanesulfonyl)-3-nitrophenyl]propionamide 300353-82-61, 2-(3-Bromo-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyridin-2-ylpropionamide chloro-4-(methanesulfonyl)phenyl]-3-cyclopentylpropionamide 588339-59-7E, 3-Cyclopentyl-2(R)-(4-methylsulfonylphenyl)-N-pyrazin-2-ylpropionamide 58840-56-1E, 3-Cyclopentyl-2-(3-fluoro-4-(methanesulfonyl)phenyl]-N-pyridin-2-ylpropionamide588940-95-8P, 2(R)-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-(5-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrazin-2-ylpropionamide 588941-62-21, 2-[3-Bromo-4-(methanesulfonyl)phenyl]-3-cyclopentyl-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrazin-2-ylpropionamide 588942-11-41, 3-Cyclopentyl-2-{4-(methanesulfonyl)-3-2-[3-Chloro-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyrazin-2-ylpropionamide 588941-45-11, 2(R)-[3-Chloro-4-300353-83-7f, 2-13-Bromo-4-(methanesulfonyl)phenyl]-N-(5-bromopyridin-2-yl)-3-cyclopentylpropionamide300353-85-9f, 2-[3-6-yano-4-(methanesulfonyl)phenyl]-3-cyclopentyl-N-pyridin-2-ylpropionamide300353-87-1f, 3-cyclopentyl-2-[4-(ethanesulfonyl)-N-pyridin-2-ylpropionamide300353-89-1f, 3-cyclopentyl-2-[4-N-(5-Bromopyridin-2-y1)-3-cyclopentyl-2-[4-(methanesulfonyl)-3-**300353-58-61,** 3-Cyclopentyl-2-{4-(methanesulfonyl)phenyl]-N-(5-methylpyridin-2-yl)propionamide **300353-75-71,** trifluoromethylphenyl)-N-pyrazin-2-ylpropionamide588942-19-21, ylpropionamide 300354-12-51, N-(5-Bromopyridin-2-y1)-2(R)-[3-300353-47-31, 3-Cyclopentyl-2-[4-(methanesulfonyl)phenyl]-N-N-pyrazin-2-ylpropionamide 588941-84-81, 2-[3-Cyano-4-2-ylpropionamide 588942-76-11, 3-Cyclopentyl-2-(4trifluoromethylphenyl)propionamide588942-55-61, methylpyridin-2-yl)propionamide 588941-40-61, 588942-11-4E, (Uses)

(glucokinase activator; preparation of cycloalkylheteroaryl propionamides as glucokinase activators)
300353-47-3 CAPUS
Benzaneacetamide, a-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME) ₹ ₹

300353-49-5 CAPLUS Benzeneacetamide, a-(cyclopentylmethyl)-4-(methylsulfonyl)-3-nitro-N-2-pyridinyl- (9CI) (CA INDEX NAME) Z Z

300353-53-1 CAPLUS
3-Pyridinecarboxylic acid, 6-[(3-cyclopentyl-2-[4-(methylsulfonyl)phenyl]-1-oxopropyl]amino]- (9CI) (CA INDEX NAME) **₹** ₹

300353-57-5 CAPLUS
Benzeneacetamide, a-(cyclopentylmethyl)-N-[5-(hydroxymethyl)-2pyridinyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME) **2** 2

300353-58-6 CAPLUS
Benzeneacetamide, \(\sigma\)-(\sigma\)-N-(5-methyl-2-pyridinyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME) ₹ ₹

300353-75-7 CAPLUS Benzeneacetamide, N-(5-bromo-2-pyridinyl)α-(cyclopentylmethyl)-4-(methylsulfonyl)-3-nitro- (9CI) (CA INDEX NAME) ₹ ₹

300353-82-6 CAPLUS Benzeneacetamide, 3-bromoσ-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME) ₹ 5

₹ ₹

300353-83-7 CAPLUS
Benzeneacetamide, 3-bromo-N-(5-bromo-2-pyridiny1)a-(cyclopentylmethyl)-4-(methylsulfony1)- (9CI) (CA INDEX NAME)

3 3

300353-85-9 CAPLUS Benzeneacetamide, 3-cyanoα-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Z Z

300353-87-1 CAPLUS
Benzeneacetamide, a-(cyclopentylmethyl)-4-(ethylsulfonyl)-N-2pyridinyl- (9CI) (CA INDEX NAME)

Z Z

300353-89-3 CAPLUS Benzeneacetamide, o-(cyclopentylmethyl)-3,4-bis(methylsulfonyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

300354-03-4 CAPLUS
Benzeneacetamide, a-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2pyridinyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME) Z Z

₹ 5

300354-05-6 CAPLUS
Benzeneacetamide, 3-chlorog-(cyclopentylmethyl)-4-(methylsulfonyl)N-2-pyridinyl- (9C1) (CA INDEX NAME)

₹ ₹

300354-06-7 CAPLUS
Benzeneacetamide, N-(5-bromo-2-pyridinyl)-3-chlorca-(cyclopentylmethyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Z Z

300354-07-8 CAPLUS
Benzeneacetamide, 3-chloro-N-(5-chloro-2-pyridinyl)a-(cyclopentylmethyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

₹ 5

300354-08-9 CAPLUS
Benzeneacetamide, 3-chloroa-(cyclopentylmethyl)-4-(methylsulfonyl)N-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

≅ ₹

300354-11-4 CAPLUS
Benzeneacetamide, 3-chloroo-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2-pyridinyl-, aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

₹ 2

300354-12-5 CAPLUS

Berseneacetamide, N-(5-bromo-2-pyridinyl)-3-chlorca(cyclopentylmethyl)-4-(methylsulfonyl)-, aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 588939-59-7 CAPLUS
CN Benzeneacetamide, a-(cyclopentylmethyl)-4-(methylsulfonyl)-N-pyrazinyl-, aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 589940-56-1 CAPLUS CN Benzeneacetamide, a-(cyclopentylmethyl)-3-fluoro-4-(methylsulfonyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 588940-95-8 CAPLUS
CN Benzeneacetamide, 3-chloroa-(cyclopentylmethyl)-N-(5-methyl-2-pyridinyl)-4-(methylsulfonyl)-, aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 588941-40-6 CAPLUS CM Benzeneacetamide, 3-chloro@-(cyclopentylmethyl)-4-(methylsulfonyl)-N-pyrazinyl- (9CI) (CA INDEX NAME)

RN 588941-45-1 CAPLUS CN Benzeneacetamide, 3-chloro@-(cyclopentylmethyl)-4-(methylsulfonyl)-N-pyrazinyl-, @R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 588941-62-2 CAPLUS

CN Benzeneacetamide, 3-bromo.a-(cyclopentylmethyl)-4-(methylsulfonyl)-N-pyrazinyl- (9C1) (CA INDEX NAME)

RN 588941-84-8 CAPLUS
CM Benzeneacetamide, 3-cyanog-(cyclopentylmethyl)-4-(methylsulfonyl)-N-pyrazinyl- (9CI) (CA INDEX NAME)

RN 588942-11-4 CAPLUS
CN Benzeneacetamide, a-(cyclopentylmethyl)-4-(methylsulfonyl)-Npyrazinyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 588942-19-2 CAPLUS
CN Benzeneacetamide, N-(5-bromo-2-pyridinyl)a-(cyclopentylmethyl)-4(methylsulfonyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 588942-55-6 CAPLUS
CN Benzeneacetamide, o-(cyclopentylmethyl)-4-(methylsulfonyl)-N-pyrazinyl-3-(trifluoromethyl)-, oR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 588942-76-1 CAPLUS CN Benzeneacetamide, o-(cyclopentylmethyl)-4-(methylsulfonyl)-3-nitro-Npyrazinyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:516858 CAPLUS
100CUMENT NUMBER: 159:65384
Methods for purification and crystal structure of

INVENTOR(S):
Corbett, Wendy Lea, Crowther, Robert Lewis; Dunten, Pete William; Kammlott, R. Ursula; Lukacs, Christine Maria
FARITA ASSIGNEE(S):
F. Demande, 90 pp.
DOCUMENT TYPE:
F. Petent
LANGUAGE:
F. Petent
French
Fren

PATENT NO. KIND DATE APPLICATION NO. DATE

FR 2834295
FR 2834295
FR 2834295
B1 20030704
FR 20022-16171
US 20021199
US 200311987
A1 20031127
US 2002-318308
DE 10259786
A1 200301127
US 2002-318308
DE 10259786
A1 200301127
DE 2002-359456
DE 10259786
A1 20030717
DE 2002-359786
20021219
PRIORITY APPLM. INFO.:

AB This invention relates to crystal structure of human glucokinase and methods for culturing these proteins. Methods of using glucokinase and methods for culturing these proteins. Methods of using glucokinase and methods for culturing these proteins. Methods of using glucokinase for trantment of hyperglycemia in type II diabetes are provided.

IT 300354-06-1 300354-08-9
RL: BSU (Biological study, unclassified); BIOL (Biological study) (cocrystn. of glucokinase and their use in treatment of type II diabetes)

300354-06-7 CAPLUS
Benzeneacetamide, N-(5-bromo-2-pyridinyl)-3-chlorce-(cyclopentylmethyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

₹ ₹

RN 300354-08-9 CAPLUS
CM Benzeneacetamide, 3-chlorog-(cyclopentylmethyl)-4-(methylsulfonyl)N-{5-(trifluoromethyl)-2-pyridinyl}- (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
2000:707150 CAPLUS
DOCUMENT NUMBER: 2000:707150 CAPLUS
133:281775
TITLE: Preparation of aryloycloalkylpropionamides as glucokinase activators.
INVENTOR(S): Bizzarro, Fred Thomas; Corbett, Wendy Lea; Focella, Antonino; Grippo, Joseph Francis; Haynes, Nancy-ellen; Holland, George William; Kester, Robert Francis; Mahaney, Paige E; Sarabu, Ramakanth F. Hoffmann-La Roche A.-G., Switz.

DOCUMENT TYPE: PATENT TYPE: Parent PATENT INFORMATION:
English
PATENT INFORMATION:

DATE	20000320			Z,	MA, MD, MG,	SK,	KG,		CH, CY, DE,	BJ,		20000320	20000320	20000320		SE, MC, PT,		20000320	20000320	20000320		20000320	20000320	20000320	20000320	20000321	20010919	20010921	20010926	20021023
APPLICATION NO.	WO 2000-EP2450		BR, BY, CA, CH,	GM, HR, HU, ID,	LS, LT, LU, LV,	RU, SD, SE, SG,	ZA, ZW, AM,		UG, ZW, AT, BE,	MC, NL, PT, SE,	SN, TD, TG	000-2	2000-9486	2000-918816		II, LI, LU, NL,			2000-607996			2000-514038	2000-918816	2001-126559	2000-918816	2000-532506	2001-688	2001-7833	2001-4671	2002-107692
APPI		.25	BB,	GE,	LC, LK, LR,	PT,	UZ, VN, YU,			IE, IT, LU,	ML, MR, NE,	ð	BR	EP	900	FR, GB, GR,		TR	ч	ΑO	16	ZN	AT	R.	ES	SN	HR	ZA	2	HK
ID DATE		N	AU, AZ,	FI, GB,	KR, KZ,	NO, NZ,	UA, UG,		MW, SD,	GB, GR,	GN, GW	.,	20020102	20020109	20041006	DK, ES,	FI, RO	20020422		20031127	20001016		20041015		20050401	20030304	20030630	20021223	20010926	20041210
KIND	A2	A3		EE,	KG,	MΜ.	TR,	1.J.	KE,		£,	AA	K	A2	B1		Ľ,	T2	TZ	B2	A5	4	ഥ	S	T3	B1	A1	Ą	K	A1
PATENT NO.		WO 2000058293			JP, KE,		TJ, TM,			DK, ES,	CG, CI	CA 2368347	BR 2000009486	EP 1169312	EP 1169312		IE, SI,	TR 200102805		AU 767830	AU 2000039630	NZ 514038	AT 278680	RU 2242469		US 6528543	HR 2001000688	ZA 2001007833	NO 2001004671	HK 1046139

PRIORITY APPLN. INFO .:

19991117 19991117 20000320

OTHER SOURCE(S):

MARPAT 133:281775

≥ به به نه 1999-126707P 1999-165944P 1999-165948P 2000-EP2450 SU SU OW

sulfonanido, perfluoroalkyl, alkylthio, alkylsulfinyl, alkylsulfinyl, aulfonanido, perfluoroalkyl, alkylthio, alkylsulfonyl, alkylsulfinyl, etc., R3 = alkyl, cycloalkyl, R4 = CONHH40, (substituted) 5-6 membered heteroaryl, R40 = H, alkyl, alkenyl, hydroxyalkyl, haloalkyl, etc.], were prepared for treatment of type II diabetes. Thus, 3-cyclopentyl-2-(3,4-dichlorophesphate, and 2-aminothiazole in GTZC12 was treated with Et3N followed by 14 h stirring to give 3-cyclopentyl-2-(3,4-dichlorophenyl)-N-thiazol-2-ylpropionamide. I activated glucokinase in vitro with SC1.430 µM.

300353-47-31 300353-49-51 300353-57-7P
300353-82-61 300353-89-31 300353-85-9P
300353-87-11 300354-89-31 300354-03-4P
300354-08-91 300354-11-41 300354-07-8P Title compds. [1; R1, R2 = H, halo, amino, hydroxyamino, NO2, cyano AB

II

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Blological study); PREP (Preparation); USES (Uses) (preparation of arylcycloalkylpropionamides as glucokinase activators) 300353-47-3 CAPLUS

Benzeneacetamide, a-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

₹ ₹

300353-49-5 CAPLUS
Benzeneacetamide, a-(cyclopentylmethyl)-4-(methylsulfonyl)-3-nitro-N-2-pyridinyl- (9CI) (CA INDEX NAME) Z Z

300353-53-1 CAPLUS
3-Pyridinecarboxylic acid, 6-[[3-cyclopentyl-2-[4-(methylsulfonyl)phenyl]-1-oxopropyl]amino]- (9CI) (CA INDEX NAME) ₹ ₹

300353-57-5 CAPLUS
Benzeneacetamide, o-(cyclopentylmethyl)-N-[5-(hydroxymethyl)-2-pyridinyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME) ₹ ₹

300353-58-6 CAPLUS
Benzeneacetamide, o-(cyclopentylmethyl)-N-(5-methyl-2-pyridinyl)-4-(methylsulfonyl)- (9Cl) (CA INDEX NAME) Z Z

RN 300353-75-7 CAPLUS CN Benzeneacetamide, N-(5-bromo-2-pyridinyl)a-(cyclopentylmethyl)-4-(methylsulfonyl)-3-nitro- (9CI) (CA INDEX NAME)

RN 300353-82-6 CAPLUS CN Benzeneacetamide, 3-bromo-a-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 300353-83-7 CAPLUS
CN Benzeneacetemide, 3-bromo-N-(5-bromo-2-pyridinyl)a(cyclopentylmethyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 300353-85-9 CAPLUS
CN Benzeneacetamide, 3-cyanog-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

RN 300353-87-1 CAPLUS
CN Benzeneacetamide, \(\sigma - \)(cyclopentylmethyl)-4-(ethylsulfonyl)-N-2-pyridinyl- (9CI) (CA INDEX NAME)

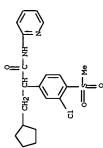
RN 300353-89-3 CAPLUS
CN Benzeneacetamide, o-(cyclopentylmethyl)-3,4-bis(methylsulfonyl)-N-2pyridinyl- (9CI) (CA INDEX NAME)

₹ 5

300354-03-4 CAPLUS
Benzeneacetamide, a-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2pyridinyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Z 2

300354-05-6 CAPLUS
Benzeneacetamide, 3-chloroa-(cyclopentylmethyl)-4-(methylsulfonyl)N-2-pyridinyl- (9C1) (CA INDEX NAME)



₹ 8

300354-06-7 CAPLUS
Benzeneacetamide, N-(5-bromo-2-pyridinyl)-3-chlorca-(cyclopentylmethyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

₹ Z

300354-07-8 CAPLUS
Benzeneacetamide, 3-chloro-N-(5-chloro-2-pyridinyl)o(cyclopentylmethyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

300354-08-9 CAPLUS
Benzeneacetamide, 3-chloroo-(cyclopentylmethyl)-4-(methylsulfonyl)N-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME) Z Z

≅ ₹

300354-11-4 CAPLUS
Benzeneacetamide, 3-chlorog-(cyclopentylmethyl)-4-(methylsulfonyl)-N-2-pyridinyl-, gR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

₹ ₹

300354-12-5 CAPLUS
Benzeneacetamide, N-(5-bromo-2-pyridinyl)-3-chlorco(cyclopentylmethyl)-4-(methylsulfonyl)-, α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

₹8

300355-49-1 CAPLUS 3-Pyridinecarboxylic acid, 6-[[3-cyclopentyl-2-[4-(methylsulfonyl)phenyl]-1-oxopropyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

TOTAL	200.74		-2.92
SINCE FILE	26.61	SINCE FILE	-2.95
=> LOG HOLD COST IN U.S. DOLLARS	FULL ESTIMATED COST	DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 60 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 12:29:44 ON 22 JUN 2005

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FILE 'HOME' ENTERED AT 13:30:01 ON 22 JUN 2005

=> FILE MEDLINE COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 13:30:12 ON 22 JUN 2005

FILE LAST UPDATED: 21 JUN 2005 (20050621/UP). FILE COVERS 1950 TO DATE.

On December 19, 2004, the 2005 MeSH terms were loaded.

The MEDLINE reload for 2005 is now available. For details enter HELP RLOAD at an arrow promt (=>). See also:

http://www.nlm.nih.gov/mesh/

http://www.nlm.nih.gov/pubs/techbull/nd04/nd04 mesh.html

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S GLUCOKINASE ACTIVATORS

2481 GLUCOKINASE

31 GLUCOKINASES

2483 GLUCOKINASE

(GLUCOKINASE OR GLUCOKINASES)

47366 ACTIVATORS

L1 8 GLUCOKINASE ACTIVATORS

(GLUCOKINASE (W) ACTIVATORS)

=> S GLUCOKINASE ACTIVATOR

2481 GLUCOKINASE

31 GLUCOKINASES

2483 GLUCOKINASE

(GLUCOKINASE OR GLUCOKINASES)

62765 ACTIVATOR

47366 ACTIVATORS

97413 ACTIVATOR

(ACTIVATOR OR ACTIVATORS)

9 GLUCOKINASE ACTIVATOR

(GLUCOKINASE (W) ACTIVATOR)

=> D 1-9

1.2

L2 ANSWER 1 OF 9 MEDLINE on STN

AN 2005175621 IN-PROCESS

DN PubMed ID: 15808477

TI Discovery, synthesis and biological evaluation of novel glucokinase activators.

- AU McKerrecher Darren; Allen Joanne V; Bowker Suzanne S; Boyd Scott; Caulkett Peter W R; Currie Gordon S; Davies Christopher D; Fenwick Mark L; Gaskin Harold; Grange Emma; Hargreaves Rod B; Hayter Barry R; James Roger; Johnson Keith M; Johnstone Craig; Jones Clifford D; Lackie Sarah; Rayner John W; Walker Rolf P
- CS Cardiovascular and Gastrointestinal Research Area, AstraZeneca UK, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG, UK. darren.mckerrecher@astrazeneca.com. <darren.mckerrecher@astrazeneca.com>
- SO Bioorganic & medicinal chemistry letters, (2005 Apr 15) 15 (8) 2103-6. Journal code: 9107377. ISSN: 0960-894X.
- CY England: United Kingdom
- DT Journal; Article; (JOURNAL ARTICLE)
- LA English
- FS NONMEDLINE; IN-PROCESS; NONINDEXED; Priority Journals
- ED Entered STN: 20050406

Last Updated on STN: 20050426

- L2 ANSWER 2 OF 9 MEDLINE on STN
- AN 2005154707 IN-PROCESS
- DN PubMed ID: 15787609
- TI Small molecule **glucokinase activators** as novel anti-diabetic agents.
- AU Leighton B; Atkinson A; Coghlan M P
- CS AstraZeneca, Alderley Park, Macclesfield, Cheshire SK10 4TG, UK.. Brendan.Leighton@astrazeneca.com
- SO Biochemical Society transactions, (2005 Apr) 33 (Pt 2) 371-4. Journal code: 7506897. ISSN: 0300-5127.
- CY England: United Kingdom
- DT Journal; Article; (JOURNAL ARTICLE)
- LA English
- FS NONMEDLINE; IN-PROCESS; NONINDEXED; Priority Journals
- ED Entered STN: 20050325 Last Updated on STN: 20050510
- L2 ANSWER 3 OF 9 MEDLINE on STN
- AN 2005083678 IN-PROCESS
- DN PubMed ID: 15713416
- TI Glucokinase-activating ureas.
- AU Castelhano Arlindo L; Dong Hanqing; Fyfe Matthew C T; Gardner Lisa S; Kamikozawa Yukari; Kurabayashi Satomi; Nawano Masao; Ohashi Rikiya; Procter Martin J; Qiu Li; Rasamison Chrystelle M; Schofield Karen L; Shah Vilas K; Ueta Kiichiro; Williams Geoffrey M; Witter David; Yasuda Kosuke
- CS OSI Pharmaceuticals, 1 Bioscience Park Drive, Farmingdale, NY 11735, USA.
- SO Bioorganic & medicinal chemistry letters, (2005 Mar 1) 15 (5) 1501-4. Journal code: 9107377. ISSN: 0960-894X.
- CY England: United Kingdom
- DT Journal; Article; (JOURNAL ARTICLE)
- LA English
- FS NONMEDLINE; IN-PROCESS; NONINDEXED; Priority Journals
- ED Entered STN: 20050217 Last Updated on STN: 20050316
- L2 ANSWER 4 OF 9 MEDLINE on STN
- AN 2004372863 MEDLINE
- DN PubMed ID: 15277384
- TI Insulin dose-response curves for stimulation of splanchnic glucose uptake and suppression of endogenous glucose production differ in nondiabetic humans and are abnormal in people with type 2 diabetes.
- AU Basu Rita; Basu Ananda; Johnson C Michael; Schwenk W Frederick; Rizza Robert A
- CS Division of Endocrinology, Mayo Clinic, Rochester, Minnesota 55905, USA.
- NC DK29953 (NIDDK) RR-00585 (NCRR)
- SO Diabetes, (2004 Aug) 53 (8) 2042-50. Journal code: 0372763. ISSN: 0012-1797.
- CY United States
- DT Journal; Article; (JOURNAL ARTICLE)
- LA English
- FS Abridged Index Medicus Journals; Priority Journals
- EM 200409
- ED Entered STN: 20040728

Last Updated on STN: 20040921 Entered Medline: 20040917

- L2 ANSWER 5 OF 9 MEDLINE on STN
- AN 2004132273 MEDLINE
- DN PubMed ID: 14988235
- TI Stimulation of hepatocyte glucose metabolism by novel small molecule glucokinase activators.

- AU Brocklehurst Katy J; Payne Victoria A; Davies Rick A; Carroll Debra; Vertigan Helen L; Wightman Heather J; Aiston Susan; Waddell Ian D; Leighton Brendan; Coghlan Matthew P; Agius Loranne
- CS Cardiovascular and Gastrointestinal Department, AstraZeneca, Macclesfield, Cheshire, U.K.
- SO Diabetes, (2004 Mar) 53 (3) 535-41. Journal code: 0372763. ISSN: 0012-1797.
- CY United States
- DT Journal; Article; (JOURNAL ARTICLE)
- LA English
- FS Abridged Index Medicus Journals; Priority Journals
- EM 200406
- ED Entered STN: 20040318

Last Updated on STN: 20040609 Entered Medline: 20040608

- L2 ANSWER 6 OF 9 MEDLINE on STN
- AN 2004103919 MEDLINE
- DN PubMed ID: 14993457
- TI Two birds with one stone: novel **glucokinase activator** stimulates glucose-induced pancreatic insulin secretion and augments hepatic glucose metabolism.
- AU Al-Hasani Hadi; Tschop Matthias H; Cushman Samuel W
- CS Department of Pharmacology, German Institute of Human Nutrition, 14558 Potsdam-Rehbrucke, Germany.
- SO Mol Interv, (2003 Oct) 3 (7) 367-70. Ref: 18 Journal code: 101093789. ISSN: 1534-0384.
- CY United States
- DT Journal; Article; (JOURNAL ARTICLE)
 General Review; (REVIEW)
 (REVIEW, TUTORIAL)
- LA English
- FS Priority Journals
- EM 200404
- ED Entered STN: 20040303

Last Updated on STN: 20040424 Entered Medline: 20040423

- L2 ANSWER 7 OF 9 MEDLINE on STN
- AN 2003458066 MEDLINE
- DN PubMed ID: 14519091
- TI Metabolic diseases drug discovery world summit. July 28-29, 2003, San Diego, CA, USA.
- AU Sarabu Ramkanth
- CS Hoffmann-La Roche, Inc. 340 Kingsland Street, Nutley, NJ 07110, USA.. ramakanth.sarabu@roche.com
- SO Expert opinion on investigational drugs, (2003 Oct) 12 (10) 1721-6. Journal code: 9434197. ISSN: 1354-3784.
- CY England: United Kingdom
- DT Conference; Conference Article; (CONGRESSES)
- LA English
- FS Priority Journals
- EM 200403
- ED Entered STN: 20031002

Last Updated on STN: 20040312 Entered Medline: 20040311

- L2 ANSWER 8 OF 9 MEDLINE on STN
- AN 1999408474 MEDLINE
- DN PubMed ID: 10480597
- TI Structural model of human glucokinase in complex with glucose and ATP: implications for the mutants that cause hypo- and hyperglycemia.
- AU Mahalingam B; Cuesta-Munoz A; Davis E A; Matschinsky F M; Harrison R W;

Weber I T

- CS Department of Microbiology and Immunology, Thomas Jefferson University, Philadelphia, Pennsylvania 19107, USA.
- SO Diabetes, (1999 Sep) 48 (9) 1698-705. Journal code: 0372763. ISSN: 0012-1797.
- CY United States
- DT Journal; Article; (JOURNAL ARTICLE)
- LA English
- FS Abridged Index Medicus Journals; Priority Journals
- EM 199909
- ED Entered STN: 19991012

Last Updated on STN: 19991012 Entered Medline: 19990930

- L2 ANSWER 9 OF 9 MEDLINE on STN
- AN 1999275795 MEDLINE
- DN PubMed ID: 10348039
- TI Glucolipsin A and B, two new **glucokinase activators** produced by Streptomyces purpurogeniscleroticus and Nocardia vaccinii.
- AU Qian-Cutrone J; Ueki T; Huang S; Mookhtiar K A; Ezekiel R; Kalinowski S S; Brown K S; Golik J; Lowe S; Pirnik D M; Hugill R; Veitch J A; Klohr S E; Whitney J L; Manly S P
- CS Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, Connecticut 06492, USA.
- SO Journal of antibiotics, (1999 Mar) 52 (3) 245-55. Journal code: 0151115. ISSN: 0021-8820.
- CY Japan
- DT Journal; Article; (JOURNAL ARTICLE)
- LA English
- FS Priority Journals
- EM 199906
- ED Entered STN: 19990712

Last Updated on STN: 19990712 Entered Medline: 19990623

=> D ABS 6-9

L2 ANSWER 6 OF 9 MEDLINE on STN

AB The hormones glucagon and insulin delicately regulate the concentration of blood glucose. When patients become resistant to the effects of insulin or produce too little of it to properly regulate glucose concentrations, then diabetes can result. Unfortunately, not all patients with insulin-resistant, type 2 diabetes mellitus respond to drugs that improve insulin sensitivity. However, there is reason to be hopeful. A new molecule that targets glucokinase (GK), the enzyme responsible for phosphorylating glucose in pancreatic beta cells and hepatic cells, acts to significantly reduce blood glucose concentrations in rodents. The GK activator RO-28-1675 increased the glucose affinity and Vmax of GK, and rats treated with RO-28-1675 had improved glucose tolerance and elevated glucose uptake in liver. These results provide the basis for improved drug design that may alleviate diabetes mellitus and the disorders that accompany it in patients.

L2 ANSWER 7 OF 9 MEDLINE on STN

AB In Type 2 diabetes, glucose homeostasis is impaired due to either a decrease in insulin secretion or insulin action. In this symposium, molecular targets that could have an impact on either or both of these defects were discussed and data related to specific compounds were presented. Protein tyrosine phosphatase 1B inhibitors that relieve the negative control on insulin action and are active in cell assays, dipeptidyl peptidase IV inhibitors that raise postprandial glucagon-like peptide 1 levels in animals and humans, and pyruvate dehydrogenase kinase

inhibitors that increase the levels of pyruvate dehydrogenase, which in turn improve insulin sensitivity, were all discussed. Roche presented for the first time their novel glucokinase activators and discussed both the in vitro and in vivo activity profiles of representative glucokinase activators as potential therapy for Type 2 diabetes. Second generation retinoid X receptor modulators that retain the desirable effects of full agonists, while devoid of their negative attributes, such as triglyceride accumulation, were discussed. Also, clinical efficacy results of synthetic exendin-4, Exenatide trade mark, a glucagon-like peptide 1 analogue, were presented. In the area of obesity, agonists of several central (melanocortin type 4, serotonin subtype 2C and cannabinoid receptor 1) receptors and one peripheral G-protein-coupled receptor, cholecystokinin receptor-A, all of which lead to reduced food intake in animals, were discussed.

- L2 ANSWER 8 OF 9 MEDLINE on STN
- AB Mutations in human glucokinase are implicated in the development of diabetes and hypoglycemia. Human glucokinase shares 54% identical amino acid residues with human brain hexokinase I. This similarity was used to model the structure of glucokinase by analogy to the crystal structure of brain hexokinase. Glucokinase was modeled with both its substrates, glucose and MgATP, to understand the effect of mutations. The glucose is predicted to form hydrogen bond interactions with the side chains of glucokinase residues Thr 168, Lys 169, Asn 204, Asp 205, Asn 231, and Glu 290, similar to those observed for brain hexokinase I. The magnesium ion is coordinated by the carboxylates of Asp 78 and Asp 205 and the gamma-phosphate of ATP. ATP is predicted to form hydrogen bond interactions with residues Gly 81, Thr 82, Asn 83, Arg 85, Lys 169, Thr 228, Lys 296, Thr 332, and Ser 336. Mutations of residues close to the predicted ATP binding site produced dramatic changes in the Km for ATP, the catalytic rate, and a loss of cooperativity, which confirmed our model. Mutations of residues in the glucose binding site dramatically reduced the catalytic activity, as did a mutation that was predicted to disrupt an alpha-helix. Other mutations located far from the active site gave smaller changes in kinetic parameters. In the absence of a crystal structure for glucokinase, our models help rationalize the potential effects of mutations in diabetes and hypoglycemia, and the models may also facilitate the discovery of pharmacological glucokinase activators and inhibitors.
- L2 ANSWER 9 OF 9 MEDLINE on STN
- AB During the screening of the natural products for their ability to increase the activity of glucokinase by relieving inhibition by long chain fatty acyl CoA esters (FAC), two novel compounds, glucolipsin A (1) and B (2) were isolated from the butanol extracts of Streptomyces purpurogeniscleroticus WC71634 and Nocardia vaccinii WC65712, respectively. The structures of these two compounds were established by spectroscopic methods and chemical degradation. Glucolipsin A (1) and B (2) relieved the inhibition of glucokinase by FAC with RC50 values of 5.4 and 4.6 microM.
- => S GLUCOKINASE AND REVIEW AND (CLINICAL OR THERAPY) AND (2002/PY OR 2003/PY)
 - 2481 GLUCOKINASE
 - 31 GLUCOKINASES
 - 2483 GLUCOKINASE

(GLUCOKINASE OR GLUCOKINASES)

400777 REVIEW

50074 REVIEWS

439842 REVIEW

(REVIEW OR REVIEWS)

1358743 CLINICAL

39 CLINICALS

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1358767 CLINICAL
                 (CLINICAL OR CLINICALS)
       2333887 THERAPY
         58933 THERAPIES
       2354465 THERAPY
                 (THERAPY OR THERAPIES)
        539523 2002/PY
        566712 2003/PY
L3
             2 GLUCOKINASE AND REVIEW AND (CLINICAL OR THERAPY) AND (2002/PY
=> D 1-2
    ANSWER 1 OF 2
T.3
                       MEDLINE on STN
AN
     2002331409
                   MEDLINE
DN
     PubMed ID: 12073419
ΤI
    Early-onset type 2 diabetes in Mexico.
ΑU
    Garcia-Garcia Eduardo; Aquilar-Salinas Carlos A; Tusie-Luna Teresa;
     Rull-Rodrigo Juan Antonio
    Department of Endocrinology, and Metabolism, National Institute of Medical
     Sciences and Nutrition Salvador Zubiran, Mexico City, Mexico.
SO
     Israel Medical Association journal : IMAJ, (2002 Jun) 4 (6)
     444-8. Ref: 22
     Journal code: 100930740. ISSN: 1565-1088.
CY
     Israel
     Journal; Article; (JOURNAL ARTICLE)
DT
    General Review; (REVIEW)
     (REVIEW, TUTORIAL)
LΑ
    English
FS
     Priority Journals
EM
     200207
ED
    Entered STN: 20020621
    Last Updated on STN: 20020712
     Entered Medline: 20020711
    ANSWER 2 OF 2
                       MEDLINE on STN
L3
AN
    2002081828
                    MEDLINE
DN
     PubMed ID: 11808879
    Heterogeneity of persistent hyperinsulinaemic hypoglycaemia. A series of
     de Lonlay Pascale; Fournet Jean-Christophe; Touati Guy; Groos
ΑU
    Marie-Sylvie; Martin Delphine; Sevin Caroline; Delagne Veronique; Mayaud
     Christine; Chigot Valerie; Sempoux Christine; Brusset Marie-Claire;
     Laborde Kathleen; Bellane-Chantelot Christine; Vassault Anne; Rahier
     Jacques; Junien Claudine; Brunelle Francis; Nihoul-Fekete Claire;
     Saudubray Jean-Marie; Robert Jean-Jacques
     Federation de Pediatrie, Hopital Necker-Enfants-Malades, Paris, France..
CS
     pascale.de-lonlay@necker.fr
SO
     European journal of pediatrics, (2002 Jan) 161 (1) 37-48. Ref:
     Journal code: 7603873. ISSN: 0340-6199.
    Germany: Germany, Federal Republic of
CY
DT
    Journal; Article; (JOURNAL ARTICLE)
    General Review; (REVIEW)
     (REVIEW, MULTICASE)
LΑ
    English
FS
     Priority Journals
EΜ
     200204
ED
     Entered STN: 20020128
     Last Updated on STN: 20021008
     Entered Medline: 20020410
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=> S HEXOKINASE ACTIVATORS

7228 HEXOKINASE 288 HEXOKINASES 7261 HEXOKINASE

(HEXOKINASE OR HEXOKINASES)

47366 ACTIVATORS

0 HEXOKINASE ACTIVATORS

(HEXOKINASE (W) ACTIVATORS)

=> LOGOFF

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:Y

COST IN U.S. DOLLARS

SINCE FILE ENTRY SESSION

3.97

TOTAL

4.18

FULL ESTIMATED COST

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